

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
13 January 2005 (13.01.2005)

PCT

(10) International Publication Number  
**WO 2005/002520 A2**

- (51) International Patent Classification<sup>7</sup>: **A61K**
- (21) International Application Number: **PCT/US2004/020752**
- (22) International Filing Date: **25 June 2004 (25.06.2004)**
- (25) Filing Language: **English**
- (26) Publication Language: **English**
- (30) Priority Data:  
**60/483,996** **1 July 2003 (01.07.2003)** **US**
- (71) Applicant (for all designated States except US): **MERCK & CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **CHEN, Meng Hsin** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **DOHERTY, James, B.** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **LIU, Luping** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **NATARAJAN, Swaminathan, R.** [IN/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **SHEN, Dong-Ming** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **SHU, Min** [US/US]; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (74) Common Representative: **MERCK & CO., INC.**; 126 East Lincoln Avenue, Rahway, NJ 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:**  
— without international search report and to be republished upon receipt of that report
- For two-letter codes and other abbreviations, refer to the "Guide to the Preparation of International Applications" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **OPHTHALMIC COMPOSITIONS FOR TREATING OCULAR HYPERTENSION**

(57) Abstract: This invention relates to the use of potent potassium channel blockers or a formulation thereof in the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient. This invention also relates to the use of such compounds to provide a neuroprotective effect to the eye of mammalian species, particularly humans.

WO 2005/002520 A2

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
13 January 2005 (13.01.2005)

PCT

(10) International Publication Number  
**WO 2005/002520 A3**

(51) International Patent Classification<sup>7</sup>: **C07D 235/04**,  
235/12, 253/26, 235/28, 487/04, A61K 31/4184, 31/4188,  
A61P 27/06

(74) Common Representative: **MERCK & CO., INC.**; 126  
East Lincoln Avenue, Rahway, NJ 07065-0907 (US).

(21) International Application Number:  
PCT/US2004/020752

(22) International Filing Date: 25 June 2004 (25.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
60/483,996 1 July 2003 (01.07.2003) US

(71) Applicant (for all designated States except US): **MERCK  
& CO., INC.** [US/US]; 126 East Lincoln Avenue, Rahway,  
NJ 07065-0907 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **CHEN, Meng  
Hsin** [US/US]; 126 East Lincoln Avenue, Rahway, NJ  
07065-0907 (US). **DOHERTY, James, B.** [US/US]; 126  
East Lincoln Avenue, Rahway, NJ 07065-0907 (US). **LIU,  
Luping** [US/US]; 126 East Lincoln Avenue, Rahway,  
NJ 07065-0907 (US). **NATARAJAN, Swaminathan,  
R.** [IN/US]; 126 East Lincoln Avenue, Rahway, NJ  
07065-0907 (US). **SHEN, Dong-Ming** [US/US]; 126 East  
Lincoln Avenue, Rahway, NJ 07065-0907 (US). **SHU,  
Min** [US/US]; 126 East Lincoln Avenue, Rahway, NJ  
07065-0907 (US).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:  
31 March 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: OPTHALMIC COMPOSITIONS FOR TREATING OCULAR HYPERTENSION

(57) Abstract: This invention relates to the use of potent potassium channel blockers or a formulation thereof in the treatment of glaucoma and other conditions which leads to elevated intraocular pressure in the eye of a patient. This invention also relates to the use of such compounds to provide a neuroprotective effect to the eye of mammalian species, particularly humans.

WO 2005/002520 A3